L Number	Hits	Search Text	DB	Time stamp
1	36920	thiazol or thiazolyl or isothiazol or isothiazolyl or thien or thienyl	USPAT; US-PGPUB	2003/05/24 10:56
2	18589	(thiazol or thiazolyl or isothiazol or isothiazolyl or thien or thienyl) and (urea or thiourea or amidine or imine or sulfonyl or selenium)	USPAT; US-PGPUB	2003/05/24 10:58
3	1816	(thiazol or thiazolyl or isothiazol or isothiazolyl or thien or thienyl) with (urea or thiourea or amidine or imine or sulfonyl or selenium)	USPAT; US-PGPUB	2003/05/24 10:59
4	1768	((thiazol or thiazolyl or isothiazol or isothiazolyl or thien or thienyl) with (urea or thiourea or amidine or imine or sulfonyl or selenium)) and (cycloalkyl or cycloalkenyl or phenyl or aryl or cylopropyl or cyclopenyl or cyclohexyl)	USPAT; US-PGPUB	2003/05/24 11:02

EAST
10/076,163

10/ 076,163

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 20	EVENTLINE will be removed from STN
NEWS 28	Mar 24	PATDPAFULL now available on STN
NEWS 29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 30	Apr 11	Display formats in DGENE enhanced
NEWS 31	Apr 14	MEDLINE Reload
NEWS 32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 33	Apr 21	Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS 34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 35	Apr 28	RDISCLOSURE now available on STN
NEWS 36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 38	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39	May 16	CHEMREACT will be removed from STN
NEWS 40	May 19	Simultaneous left and right truncation added to WSCA
NEWS 41	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),

10/ 076,163

AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
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NEWS INTER General Internet Information
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NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:13:27 ON 23 MAY 2003

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:13:33 ON 23 MAY 2003
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 MAY 2003 HIGHEST RN 519137-84-9
DICTIONARY FILE UPDATES: 22 MAY 2003 HIGHEST RN 519137-84-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

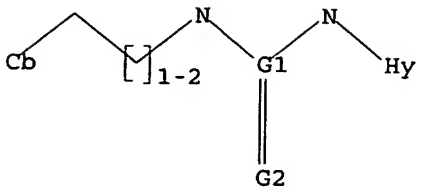
Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10076163.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

10/ 076,163



G1 C,S,SO2

G2 C,O,N,Se

Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 15:13:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  63674 TO ITERATE

  1.6% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS       0

L2            0 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 15:13:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

<  24.5% PROCESSED  311835 ITERATIONS                              38 ANSWERS

<  31.4% PROCESSED  400000 ITERATIONS                              38 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.39

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS      88

L3           38 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             148.55     148.76

FILE 'CAPLUS' ENTERED AT 15:14:45 ON 23 MAY 2003
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 23 May 2003 VOL 138 ISS 22
FILE LAST UPDATED: 22 May 2003 (20030522/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 7 L3

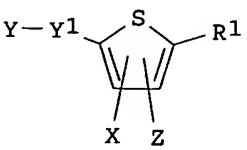
=> d l4 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 7 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:964347 CAPLUS
DOCUMENT NUMBER: 138:24638
TITLE: Preparation of thiophenecarboxylic acids and methods for the treatment or prevention of flaviviridae infections such as hepatitis C
INVENTOR(S): Chan, Chun Kong Laval; Bedard, Jean; Das, Sanjoy Kumar; Nguyen Ba, Nghe; Pereira, Oswy Z.; Reddy, Thumkunta Jagadeeswar; Siddiqui, M. Arshad; Wang, Wuyi; Yannopoulos, Constantin
PATENT ASSIGNEE(S): Shire Biochem Inc., Can.
SOURCE: PCT Int. Appl., 314 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100851	A2	20021219	WO 2002-CA876	20020611
WO 2002100851	A3	20030227		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-296731P P 20010611
OTHER SOURCE(S): MARPAT 138:24638
GI



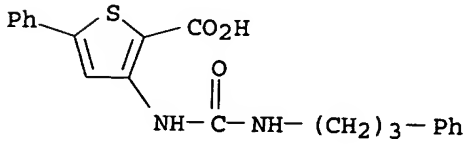
I

AB The present invention provides novel thiophenes (shown as I; variables defined below; e.g. 3-[(2-chlorophenylsulfonyl)amino]-5-phenylthiophene-2-carboxylic acid) or pharmaceutically acceptable salts thereof useful for treating flaviviridae viral infection. For I: X = -NR3MR2, -JNR2R3; M = -SO2-, -S(O)-, -S-, -C(O)-, -C(S)-, -C(O)NR4-, -C(S)NR15-, -CHR15-, -C(:NR8)-, a bond; R4 is C1-6 alkyl; R8 = H, C1-12 alkyl, C2-12 alkenyl, C2-12 alkynyl, C6-14 aryl, C3-12 heterocycle, C3-12 heteroaralkyl, C6-16 aralkyl; and R15 = H or C1-6 alkyl; J = -C(:W)-, -CHR6-, -S-, -S(O)-, -SO2-; W = O, S or NR7, wherein R7 = H, C1-12 alkyl, C2-12 alkenyl, C2-12 alkynyl, C6-14 aryl, C3-12 heterocycle, C3-12 heteroaralkyl, C6-16 aralkyl; and R6 = H, C1-12 alkyl, C6-14 aryl or C6-16 aralkyl. Y1 = a bond, C1-6 alkyl, C2-6 alkenyl or C2-6 alkynyl; Y = COOR16, COCOOR5, P(O)ORaORb, S(O)OR5, S(O)2OR5, tetrazole, CON(R9)CH(R5)COOR5, CONR10R11, CON(R9)SO2R5, CONR9OH or halogen, wherein R9, R5, R10 and R11 = H, C1-12 alkyl, C2-12 alkenyl, C2-12 alkynyl, C3-12 heterocycle, C3-18 heteroaralkyl, C6-18 aralkyl; or R10 and R11 are taken together with the N to form a 3-10 membered heterocycle; Ra and Rb = H, C1-12 alkyl, C2-12 alkenyl, C2-12 alkynyl, C6-14 aryl, C3-12 heterocycle, C3-18 heteroaralkyl and C6-18 aralkyl; or Ra and Rb are taken together with the oxygens to form a 5-10 membered heterocycle. R16 = H, C1-12 alkyl, C2-12 alkenyl, C2-12 alkynyl, C6-14 aryl, C3-12 heterocycle, C3-18 heteroaralkyl and C6-18 aralkyl; provided that R16 is other than Me or Et; R1 = C2-12 alkyl, C2-12 alkenyl, C2-12 alkynyl, C6-14 aryl, C3-12 heterocycle, C3-18 heteroaralkyl or C6-18 aralkyl; R2 = C2-12 alkyl, C2-12 alkynyl, C6-14 aryl, C3-12 heterocycle, C3-18 heteroaralkyl, or C6-18 aralkyl; R3 = H, C1-12 alkyl, C2-12 alkenyl, C2-12 alkynyl, C6-14 aryl, C3-12 heterocycle, C3-18 heteroaralkyl or C6-18 aralkyl; Z = H, halogen, C1-6 alkyl; with provisos. Twenty-five example prepns. of I are included. For example, 3-[(2-chlorophenylsulfonyl)amino]-5-phenylthiophene-2-carboxylic acid was prepd. by adding 1 N aq. soln. of LiOH.H2O (64.378 mmol) to a suspension of 3-amino-5-phenylthiophene-2-carboxylic acid Me ester (21.459 mmol) in a mixt. of THF:MeOH:H2O (3:2:1, 75 mL) and stirring at 85.degree. (external temp.) for 4 h. Solvents were removed under reduced pressure and the residue was partitioned between H2O and EtOAc. The H2O layer was sepd. and acidified with 1 N HCl soln. and then EtOAc was added to it. The formed intermediate 3-amino-5-phenylthiophene-2-carboxylic acid (4.15 g, 88%; 0.457 mmol) was taken in a mixt. of dioxane and H2O (1:1, 25 mL) and then Na carbonate (2.285 mmol) and 1-chlorophenylsulfonyl chloride (1.369 mmol) were added. The reaction mixt. was stirred at room temp. for 12 h and eventually 69% of 3-[(2-chlorophenylsulfonyl)amino]-5-phenylthiophene-2-carboxylic acid was obtained. Results of evaluation of .apprx.580 I in the hepatitis C virus (HCV) RNA-dependent RNA polymerase and/or anti-helicase assays are tabulated.

IT **478023-90-4P**, 5-Phenyl-3-[3-(3-phenylpropyl)ureido]thiophene-2-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of thiophenecarboxylic acids and methods for treatment or prevention of flaviviridae infections such as hepatitis C)

RN 478023-90-4 CAPLUS

CN 2-Thiophenecarboxylic acid, 5-phenyl-3-[[[(3-phenylpropyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

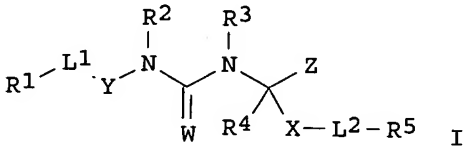


L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:555472 CAPLUS
DOCUMENT NUMBER: 137:125085
TITLE: Preparation of urea derivatives as integrin alpha 4 antagonists
INVENTOR(S): Jimenez Mayorga, Juan Miguel; Bach Tana, Jordi; Ontoria Ontoria, Jesus Maria; Navarro Romero, Eloisa
PATENT ASSIGNEE(S): Almirall Prodesfarma, S.A., Spain
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057242	A2	20020725	WO 2002-EP331	20020115

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: ES 2001-126 A 20010119
OTHER SOURCE(S): MARPAT 137:125085
GI



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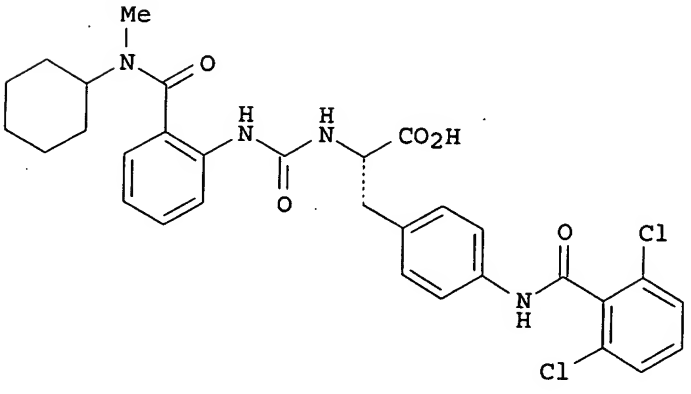


II

AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = H, alkyl, alkylaryl, etc.; R3, R4 = H, alkyl; R2 and R3, together with the

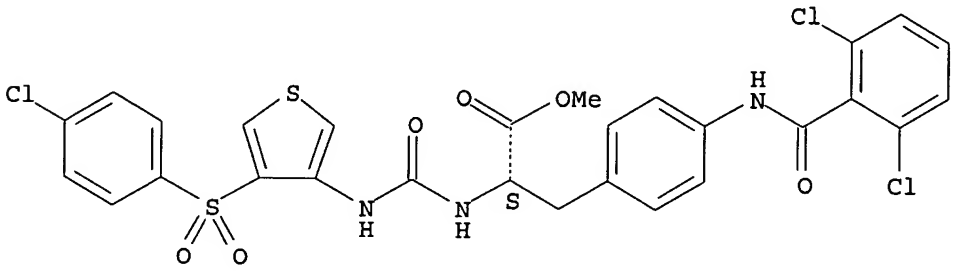
atoms to which they are attached, may form a 4-8 membered ring; R5 = alkyl, cycloalkyl, aryl, etc.; L1 = S, SO, SO2, CO, etc.; L2 = a bond, O, S, SO, etc.; W = O, S, (un)substituted NH, N(CN); X = (CH2)naryl, (CH2)nheteroaryl; Y = monocyclic (hetero)aryl; Z = CONH2, CO2R, PO3R, SO3R, etc.; R = H, alkyl, cycloalkyl, etc.; n = 0-2], novel antagonists of .alpha.4.beta.1 integrin and/or .alpha.4.beta.7 integrin useful in preventing or treating an immune or inflammatory diseases or disorders, were prepd. and formulated. Thus, reacting 2-amino-N-cyclohexyl-N-methylbenzamide with (S)-3-[4-(2,6-dichlorobenzoylamino)phenyl]-2-isocyanatopropionic acid Me ester (prepn. given) in CH2Cl2 (yield 50%) followed by hydrolysis of the intermediate ester (77%) afforded (S)-II which showed IC50 of < 100 nM in the .alpha.4.beta.1 assay.

IT **444086-07-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of ureas as integrin alpha 4 antagonists)

RN 444086-07-1 CAPLUS

CN L-Phenylalanine, N-[[[4-[(4-chlorophenyl)sulfonyl]-3-thienyl]amino]carbonyl]-4-[(2,6-dichlorobenzoyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

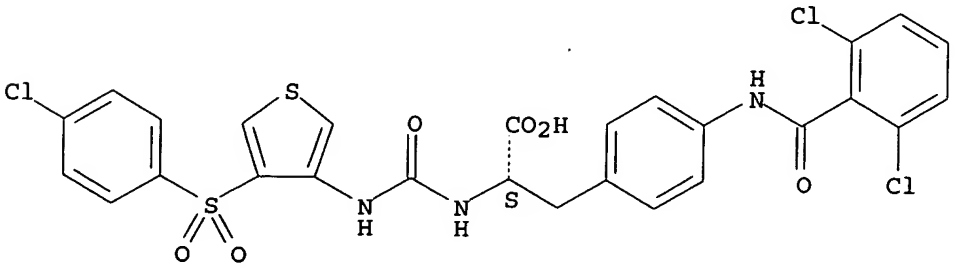


IT **444086-08-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ureas as integrin alpha 4 antagonists)

RN 444086-08-2 CAPLUS

CN L-Phenylalanine, N-[[[4-[(4-chlorophenyl)sulfonyl]-3-thienyl]amino]carbonyl]-4-[(2,6-dichlorobenzoyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



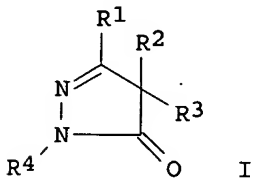
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:483069 CAPLUS

10/ 076,163

DOCUMENT NUMBER: 137:47195
TITLE: Prepn. of pyrazole derivs. as antibacterial agents
INVENTOR(S): Hirth, Bradford H.; Janjigian, Andrew; Vinick, Fred
PATENT ASSIGNEE(S): Genzyme Corporation, USA
SOURCE: U.S., 18 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6410533	B1	20020625	US 2000-502101	20000210

PRIORITY APPLN. INFO.: US 2000-502101 20000210
OTHER SOURCE(S): MARPAT 137:47195
GI

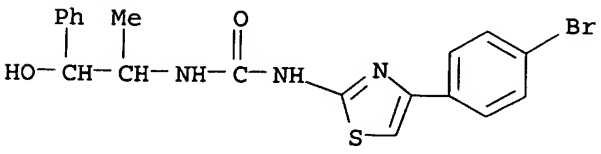


I

AB The compd. of the formula I [R1 = substituted aryl, (un)substituted arylalkyl, alkyl, perfluoroalkyl, heteroaryl, carboxy, carboxamido, amino or alkoxycarbonyl or heteroaryl; R2 and R3 are each, independently = H, (un)substituted, linear, cyclic or branched alkyl, aminoalkyl, arylalkyl, heteroarylalkyl, heteroarylcarbonyl, alkylidene group, or together form :N-OH; R4 = (un)substituted Ph group] were prepd. as antibacterial agents. Thus, a soln. of Et benzoylacetate, 3,5-dichlorophenylhydrazine hydrochloride and p-toluenesulfonic acid monohydrate in ethanol was heated at reflux for 24 h. to give 0.174 g of the 2-(3,5-dichlorophenyl)-5-phenyl-2,4-dihydro-pyrazol-3-one, which showed MIC (minimal inhibitory concn.) = 0.122 .mu.g/mL for Streptococcus aureus bacteria.

IT **438243-83-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazole derivs. as antibacterial agents)

RN 438243-83-5 CAPLUS
CN Urea, N-[4-(4-bromophenyl)-2-thiazolyl]-N'-(2-hydroxy-1-methyl-2-phenylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:135341 CAPLUS
DOCUMENT NUMBER: 137:119286

10/ 076,163

TITLE: C- and N-terminal residue effect on peptide derivatives' antagonism toward the formyl-peptide receptor

AUTHOR(S): Dalpiaz, Alessandro; Ferretti, Maria E.; Vertuani, Gianni; Traniello, Serena; Scatturin, Angelo; Spisani, Susanna

CORPORATE SOURCE: Department of Pharmaceutical Sciences, Ferrara University, Ferrara, 44100, Italy

SOURCE: European Journal of Pharmacology (2002), 436(3), 187-196
CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

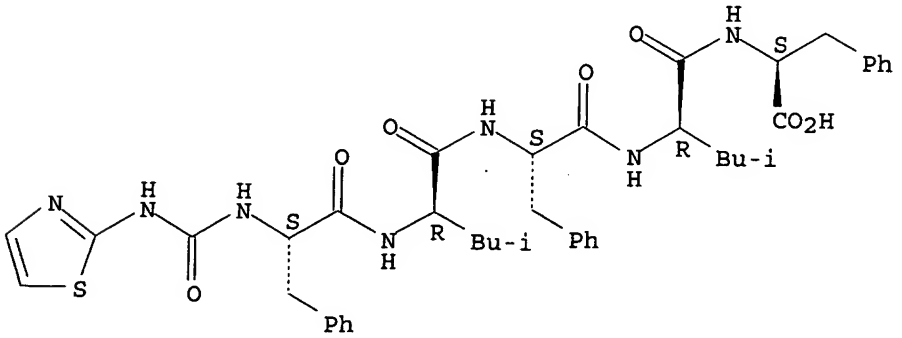
AB The biol. action of several X-Phe-D-Leu-Phe-D-Leu-Z (X=3',5'-dimethylphenyl-ureido; Z=Phe, Lys, Glu, Tyr) analogs was analyzed on human neutrophils to evaluate their ability to antagonize formyl-peptide receptors. X-Phe-D-Leu-Phe-D-Leu-Phe analogs obtained as C-terminal olo or amido derivs. and T-Phe-d-Leu-Phe-d-Leu-Phe analogs (T=thiazolyl-ureido) were also analyzed. The activities of pentapeptide derivs. were compared with those of X-Phe-D-Leu-Phe-D-Leu-Phe chosen as ref. antagonist. Our results demonstrate that X-Phe-D-Leu-Phe-D-Leu-Phe-olo, X-Phe-D-Leu-Phe-D-Leu-Glu and X-Phe-D-Leu-Phe-D-Leu-Tyr are more active antagonists than X-Phe-D-Leu-Phe-D-Leu-Phe. The presence of Lys (X-Phe-D-Leu-Phe-D-Leu-Lys) seems, instead, to inhibit the formyl-peptide receptor antagonist properties. The presence of the N-terminal thiazolyl-ureido group seems to considerably contribute to the receptor antagonist properties of T-Phe-D-Leu-Phe-D-Leu-Phe-OH. The introduction of the C-terminal Me ester (T-Phe-D-Leu-Phe-D-Leu-Phe-OMe) or amido group (X-Phe-D-Leu-Phe-D-Leu-Phe-NH2) appears detrimental for the affinity and formyl-peptide receptor antagonist properties of the Phe-D-Leu-Phe-D-Leu-Phe derivs. The examd. peptides inhibit superoxide anion prodn. and lysozyme release more efficaciously than neutrophil chemotaxis.

IT **444094-64-8P 444094-65-9P**
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(Phe-D-Leu-Phe-D-Leu-Phe derivs. as formyl-peptide receptor antagonists in human neutrophils)

RN 444094-64-8 CAPLUS

CN L-Phenylalanine, N-[(2-thiazolylamino)carbonyl]-L-phenylalanyl-D-leucyl-L-phenylalanyl-D-leucyl- (9CI) (CA INDEX NAME)

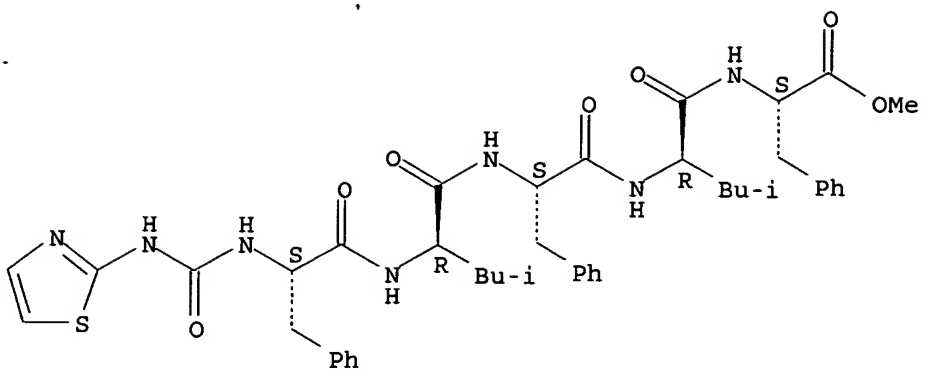
Absolute stereochemistry.



RN 444094-65-9 CAPLUS

CN L-Phenylalanine, N-[(2-thiazolylamino)carbonyl]-L-phenylalanyl-D-leucyl-L-phenylalanyl-D-leucyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



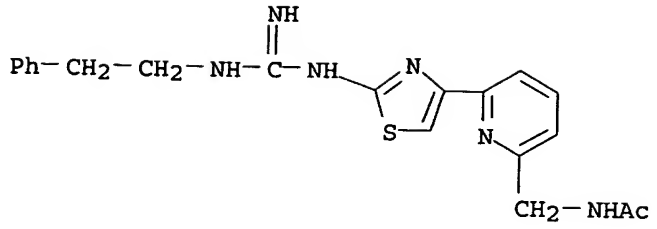
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:836782 CAPLUS
DOCUMENT NUMBER: 136:118413
TITLE: Anti-Helicobacter pylori Agents. 5. 2-(Substituted guanidino)-4-arylthiazoles and Aryloxazole Analogues
AUTHOR(S): Katsura, Yousuke; Nishino, Shigetaka; Inoue, Yoshikazu; Sakane, Kazuo; Matsumoto, Yoshimi; Morinaga, Chizu; Ishikawa, Hirohumi; Takasugi, Hisashi
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories and Medicinal Biology Research Laboratories, Fujisawa Pharmaceutical Company Ltd., Yodogawa-ku, Osaka, 532-8514, Japan
SOURCE: Journal of Medicinal Chemistry (2002), 45(1), 143-150
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB To extend the SAR study of guanidinothiazoles as a structurally novel class of anti-H. pylori agents, a series of 2-(substituted guanidino)-4-arylthiazoles and some 4-aryloxazole analogs were synthesized and evaluated for antimicrobial activity against H. pylori. Some of them were also subjected to H2 antagonist and gastric antisecretory assays. Several arylthiazoles were identified as potent anti-H. pylori agents, and of these, a thienylthiazole deriv. exhibited the strongest activity (MIC = 0.0065 .mu.g/mL) among the compds. obtained in our guanidinothiazole studies. Although the thienylthiazole deriv. was void of H2 antagonist activity, a pyridylthiazole deriv. had both potent anti-H. pylori and H2 antagonist activities. On the other hand, no attractive activities were found in pyrimidyl, oxazolyl, isoxazolyl, imidazolyl, and oxadiazolylthiazole derivs. The anti-H. pylori activity of the aryloxazole analogs was weaker than those of the corresponding arylthiazole derivs., though they had potent H2 antagonist activity.

IT **390817-73-9P 390817-74-0P 390817-75-1P**
390817-76-2P 390817-78-4P 390817-79-5P
390817-80-8P 390817-81-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of guanidinoarylthiazoles and aryloxazoles and their antimicrobial activity against H. pylori., H2 antagonist activity, and gastric antisecretory assays)

RN 390817-73-9 CAPLUS
CN Acetamide, N-[[6-[2-[[amino[(2-phenylethyl)amino]methylene]amino]-4-thiazolyl]-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 390817-74-0 CAPLUS
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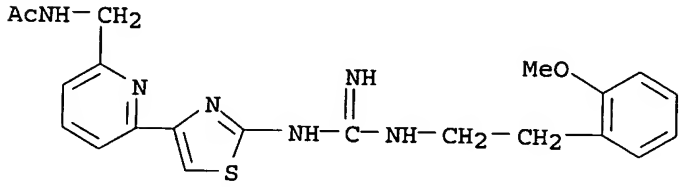

RN 390817-75-1 CAPLUS
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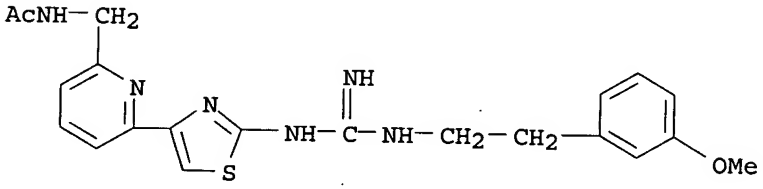

RN 390817-76-2 CAPLUS
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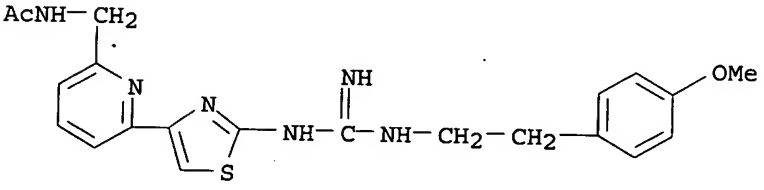

RN 390817-78-4 CAPLUS
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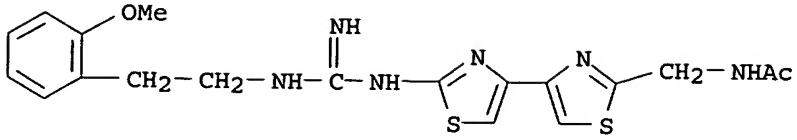
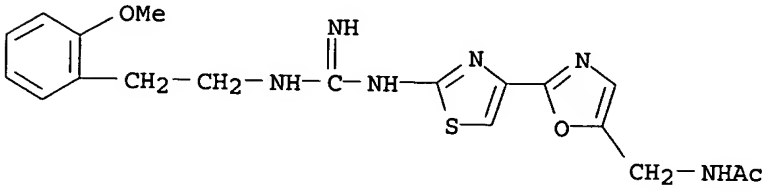


RN 390817-79-5 CAPLUS
CN Acetamide, N-[[2-[2-[[amino[[2-(2-methoxyphenyl)ethyl]amino]methylene]amino]-4-thiazolyl]-5-oxazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 390817-80-8 CAPLUS
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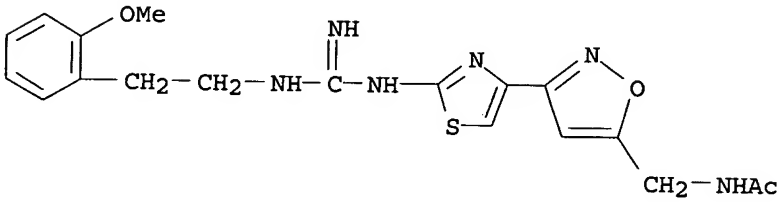
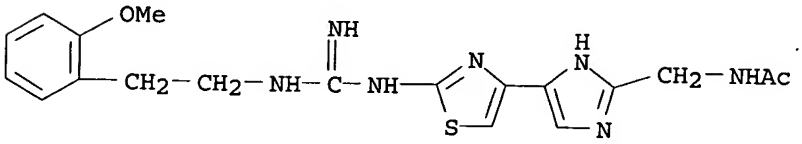


RN 390817-81-9 CAPLUS
CN Acetamide, N-[[4-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-1H-imidazol-2-yl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:881130 CAPLUS
DOCUMENT NUMBER: 134:42124
TITLE: Preparation of diaminothiazoles for inhibiting protein kinases
INVENTOR(S): Chu, Shao Song; Alegria, Larry Andrew; Bender, Steven Lee; Benedict, Suzanne Pritchett; Borchardt, Allen J.;

10/ 076,163

PATENT ASSIGNEE(S):	Kania, Robert Steve; Nambu, Mitchell David; Tempczyk-Russell, Anna Maria; Sarshar, Sepehr Agouron Pharmaceuticals, Inc., USA
SOURCE:	PCT Int. Appl., 397 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000075120	A1	20001214	WO 2000-US15188	20000602
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1181283	A1	20020227	EP 2000-942660	20000602
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
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JP 2003501420	T2	20030114	JP 2001-501601	20000602
EE 200100659	A	20030217	EE 2001-659	20000602
US 2002025976	A1	20020228	US 2001-783584	20010215
NO 2001005045	A	20020204	NO 2001-5045	20011017
BG 106276	A	20021031	BG 2002-106276	20020103

PRIORITY APPLN. INFO.:	US 1999-137810P	P	19990604
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OTHER SOURCE(S): MARPAT 134:42124

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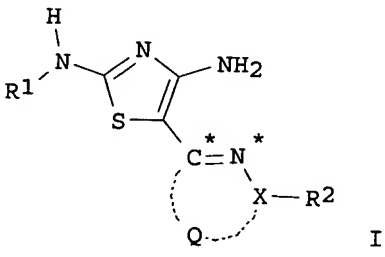

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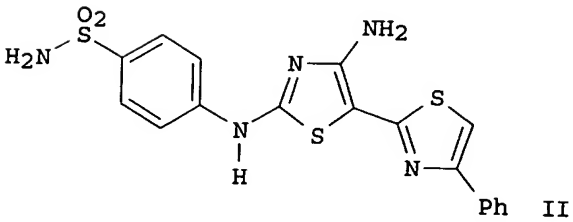


II

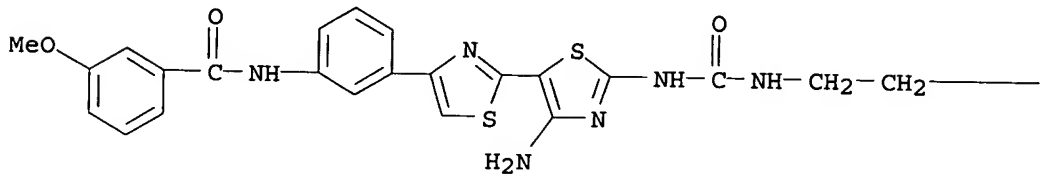
AB The title compds. [I; R1 = H, (un)substituted alkyl, cycloalkyl, etc.; R2 = OH, halo, CN, etc.; X = C, N; Q = a divalent radical having 2 or 3 atoms

selected from C, N, O, S, CR5, NR5 (wherein R5 = OH, halo, CN, etc.) which together with C* and N* form a 5-6 membered (non)arom. ring] which modulate and/or inhibit the activity of certain protein kinases (biol. data were given), and are useful in treating cancer as well as other disease states assocd. with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, were prepd. and formulated. E.g., a multi-step synthesis of diaminothiazole II was given. The compds. I and pharmaceutical compns. contg. them are capable of mediating tyrosine kinase signal transduction in order to modulate and/or inhibit unwanted cell proliferation.

IT **312766-88-4 312767-05-8 312767-82-1**
312767-96-7 312768-58-4 312768-71-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of diaminothiazoles for inhibiting protein kinases)

RN 312766-88-4 CAPLUS
CN Benzamide, N-[3-[4'-amino-2'-[[[[2-(4-aminophenyl)ethyl]amino]carbonyl]amino][2,5'-bithiazol]-4-yl]phenyl]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



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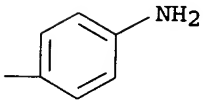
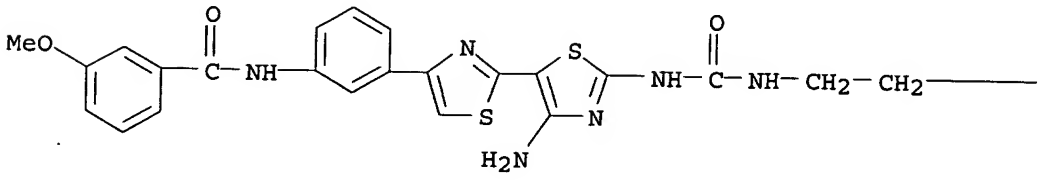
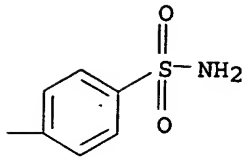


RN 312767-05-8 CAPLUS
CN Benzamide, N-[3-[4'-amino-2'-[[[[2-[4-(aminosulfonyl)phenyl]ethyl]amino]carbonyl]amino][2,5'-bithiazol]-4-yl]phenyl]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

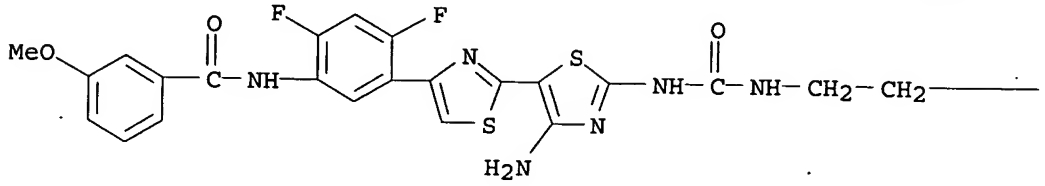


PAGE 1-B

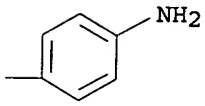


RN 312767-82-1 CAPLUS
CN Benzamide, N-[5-[4'-amino-2'-[[[[2-(4-aminophenyl)ethyl]amino]carbonyl]amino][2,5'-bithiazol]-4-yl]-2,4-difluorophenyl]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



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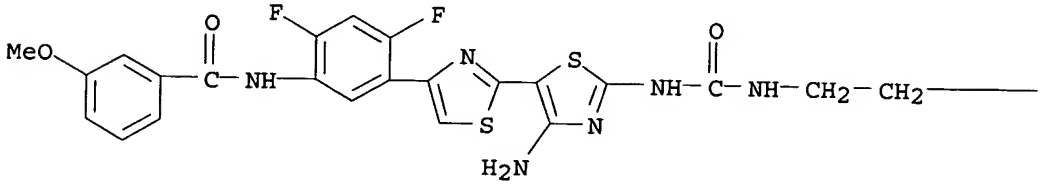
CN Benzamide, N-[5-[4'-amino-2'-[[[[2-[4-(aminosulfonyl)phenyl]ethyl]amino]carbonyl]amino][2,5'-bithiazol]-4-yl]-2,4-difluorophenyl]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



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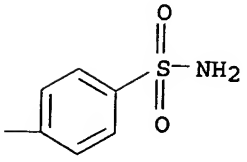
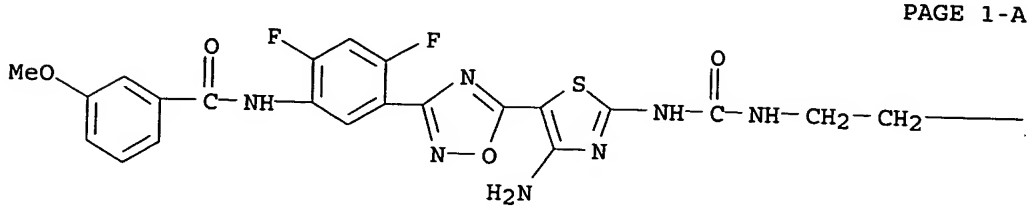


RN 312768-58-4 CAPLUS

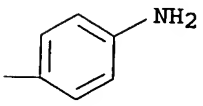
10/ 076,163

CN Benzamide, N-[5-[5-[4-amino-2-[[[[2-(4-aminophenyl)ethyl]amino]carbonyl]amino]-5-thiazolyl]-1,2,4-oxadiazol-3-yl]-2,4-difluorophenyl]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

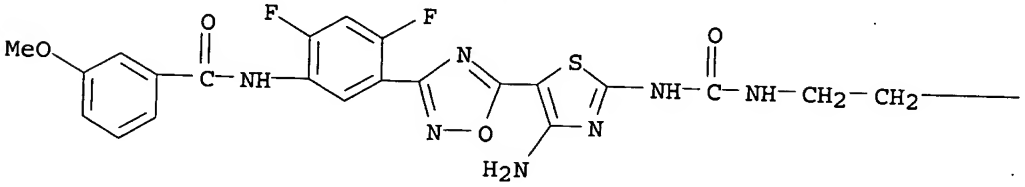


PAGE 1-B



RN 312768-71-1 CAPLUS
CN Benzamide, N-[5-[5-[4-amino-2-[[[[2-[4-(aminosulfonyl)phenyl]ethyl]amino]carbonyl]amino]-5-thiazolyl]-1,2,4-oxadiazol-3-yl]-2,4-difluorophenyl]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



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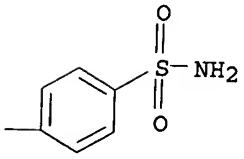

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:756524 CAPLUS
DOCUMENT NUMBER: 133:321878
TITLE: Preparation of cyclic protein tyrosine kinase inhibitors
INVENTOR(S): Das, Jagabandhu; Padmanabha, Ramesh; Chen, Ping; Norris, Derek J.; Doweyko, Arthur M. P.; Barrish, Joel C.; Wityak, John
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA

10/ 076,163

SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000062778	A1	20001026	WO 2000-US9753	20000412
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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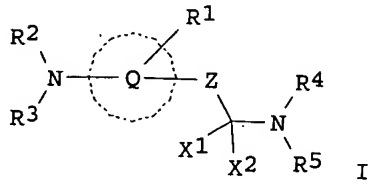
EP 1169038	A1	20020109	EP 2000-922102	20000412
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009721	A	20020213	BR 2000-9721	20000412
JP 2002542193	T2	20021210	JP 2000-611914	20000412
NO 2001004970	A	20011210	NO 2001-4970	20011012

PRIORITY APPLN. INFO.: US 1999-129510P P 19990415
WO 2000-US9753 W 20000412

OTHER SOURCE(S): MARPAT 133:321878
GI



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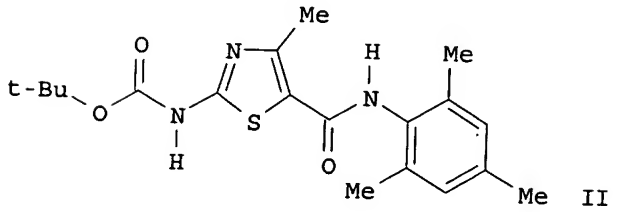


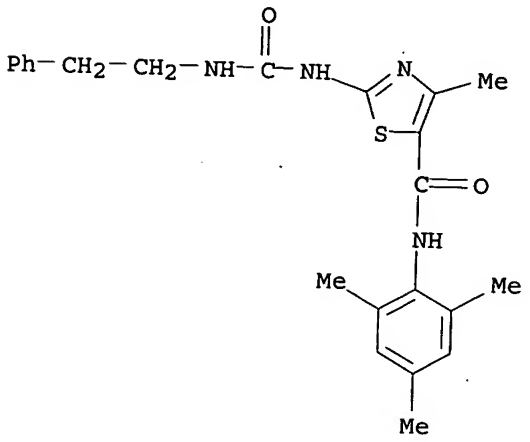
II

AB The title compds. [I; Q = (un)substituted 5-6 membered heteroaryl, aryl; Z = a single bond, R15C:CH, (CH2)m (m = 1-2); X1, X2 = H; X1 and X2 together = O, S; R1 = H, alkyl, alkenyl, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R4, R5 = H, alkyl, alkenyl, etc.], useful in the treatment of protein tyrosine kinase-assocd. disorders such as immunol. and oncol. disorders (no data), were prepd. E.g., a multi-step synthesis of thiazole II was given. Compds. I are effective at 0.1-100 mg/kg/day.

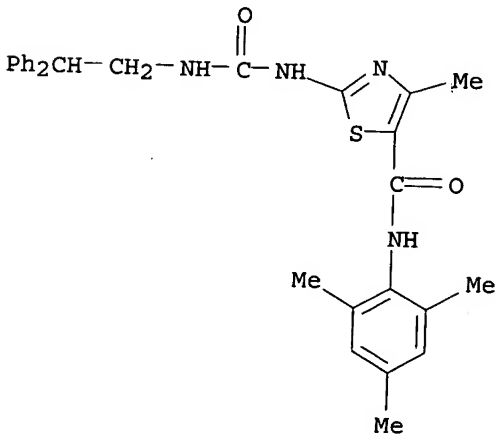
IT **302959-77-9P 302960-12-9P 302960-14-1P**
302960-15-2P 302960-16-3P 302960-17-4P
302960-18-5P 302960-21-0P 302960-25-4P

302960-27-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic protein tyrosine kinase inhibitors)

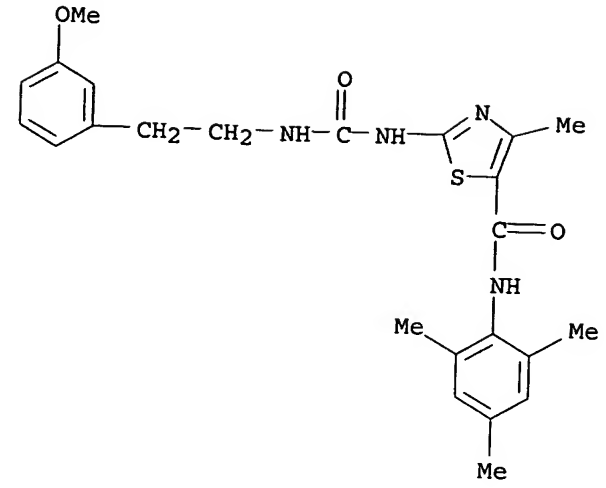
RN 302959-77-9 CAPLUS
CN 5-Thiazolecarboxamide, 4-methyl-2-[[[(2-phenylethyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



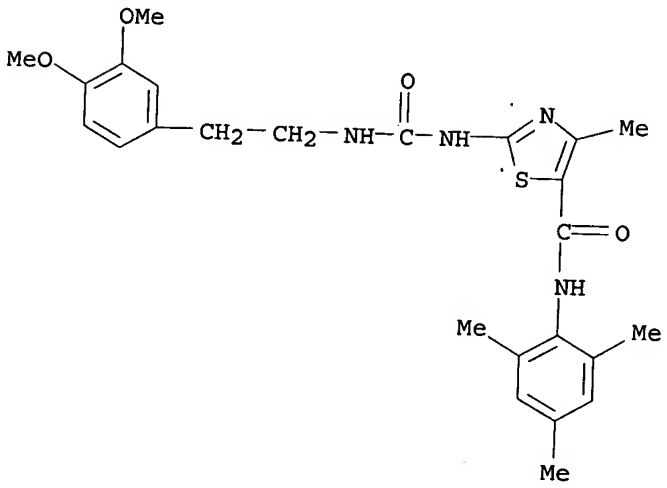
RN 302960-12-9 CAPLUS
CN 5-Thiazolecarboxamide, 2-[[[(2,2-diphenylethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



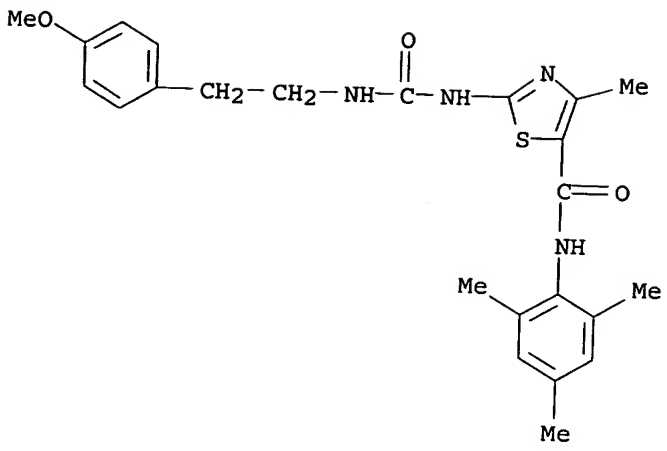
RN 302960-14-1 CAPLUS
CN 5-Thiazolecarboxamide, 2-[[[[2-(3-methoxyphenyl)ethyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



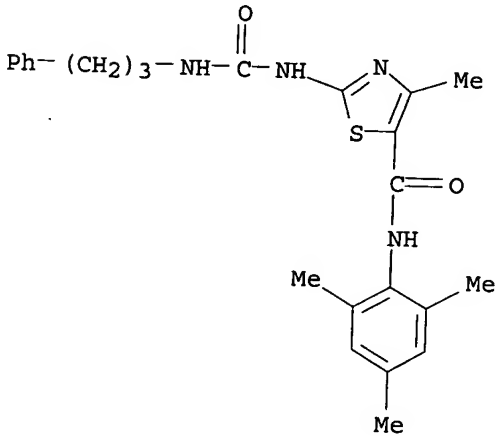
RN 302960-15-2 CAPLUS
CN 5-Thiazolecarboxamide, 2-[[[[2-(3,4-dimethoxyphenyl)ethyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



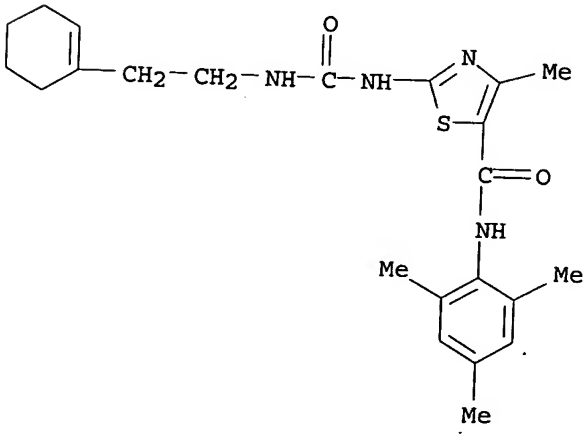
RN 302960-16-3 CAPLUS
CN 5-Thiazolecarboxamide, 2-[[[[2-(4-methoxyphenyl)ethyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



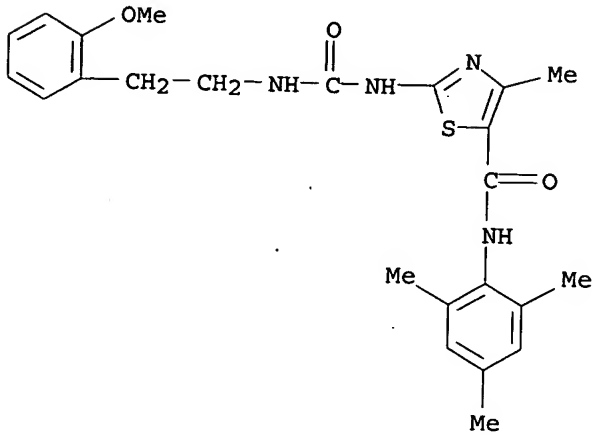
RN 302960-17-4 CAPLUS
CN 5-Thiazolecarboxamide, 4-methyl-2-[[[(3-phenylpropyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



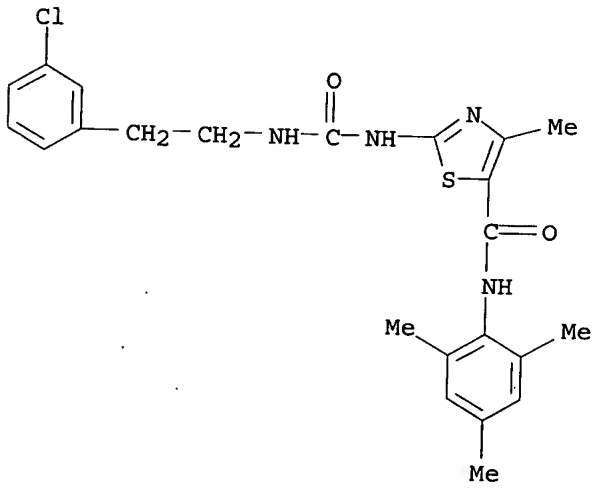
RN 302960-18-5 CAPLUS
CN 5-Thiazolecarboxamide, 2-[[[[2-(1-cyclohexen-1-yl)ethyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



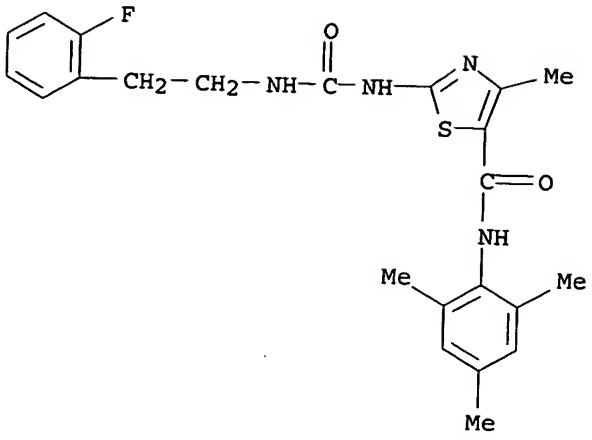
RN 302960-21-0 CAPLUS
CN 5-Thiazolecarboxamide, 2-[[[[2-(2-methoxyphenyl)ethyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



RN 302960-25-4 CAPLUS
CN 5-Thiazolecarboxamide, 2-[[[[2-(3-chlorophenyl)ethyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



RN 302960-27-6 CAPLUS
CN 5-Thiazolecarboxamide, 2-[[[[2-(2-fluorophenyl)ethyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3 38 S L1 FUL

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L4 7 S L3

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